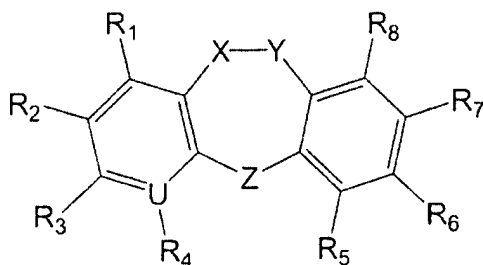


Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Previously Presented) A compound represented by formula (1),



Formula 1

wherein

when the X-Y bond is a single bond, X and Y are independently selected from the group consisting of:

CW<sub>1</sub>W<sub>2</sub> wherein W<sub>1</sub> and W<sub>2</sub> are independently selected from the group consisting of one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group,

C=O, and

C=NOW<sub>3</sub> wherein W<sub>3</sub> is a hydrogen atom or a lower alkyl group;

when the X-Y bond is a double bond, X and Y are each independently CW<sub>4</sub> wherein W<sub>4</sub> is any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group or an acyloxy group;

Z is O;

U is C;

R<sub>1</sub> to R<sub>4</sub> are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V<sub>1</sub>W<sub>5</sub>, a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle wherein

V<sub>1</sub> is any one of O, S, S=O or SO<sub>2</sub>.

W<sub>5</sub> is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group or a trihalomethyl group, and

R<sub>5</sub> to R<sub>8</sub> are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V<sub>2</sub>W<sub>7</sub>, a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle; wherein

V<sub>2</sub> is one of O, S, S=O or SO<sub>2</sub>,

W<sub>7</sub> is one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group or a trihalomethyl group,

wherein:

$W_0$  is any one selected from the group consisting of a lower alkyl group and a substituted lower alkyl group,

when X is  $CHW_0$ ,  $CW_0W_0$  or  $CW_0$  at least one of  $R_5$  to  $R_8$  is a hydroxyl group, provided that at least one of  $R_5$ ,  $R_7$  or  $R_8$  is a hydroxy group when the X-Y bond is  $CH(C_2H_5)CO$  and  $R_6$  is a hydroxyl group and

when X is other than  $CHW_0$ ,  $CW_0W_0$  or  $CW_0$  at least one of  $R_5$  to  $R_8$  is a hydroxyl group and, at the same time, at least one of the other  $R_5$  to  $R_8$  is a group of OR wherein

R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group; and

when X-Y is  $CH_2CH_2$ ,  $CHBrCH_2$ ,  $CH_2CO$ ,  $CHBrCO$ ,  $CH=CH$ ,  $CH=COCOCH_3$  or  $CH=COCH_3$ ,

at least one of  $R_1$  to  $R_4$  is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle provided that when both  $R_6$  and  $R_7$  are hydroxyl groups, any one of  $R_1$  to  $R_4$  is not a phenyl group; or

at least one of  $R_1$  to  $R_4$  is  $SW_8$  or  $S(O)W_9$  wherein  $W_8$  and  $W_9$  independently are a lower alkyl group or a substituted lower alkyl group provided that  $R_7$  is not a hydrogen atom when Z is O; or

$R_2$  is either a lower alkyl group or a substituted lower alkyl group and, at the same time,  $R_8$  is a hydroxyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O; or

at least one of  $R_1$  to  $R_4$  is a lower alkylcarbonyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more, a

cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time,

R<sub>8</sub> is a hydroxyl group; or

at least one of R<sub>1</sub> to R<sub>4</sub> is a cyano group; or

at least one of R<sub>1</sub> to R<sub>4</sub> is -C(=NOR)CH<sub>3</sub> wherein R is a hydrogen atom or a lower alkyl group, an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof.

2. (Original) The compound according to claim 1, wherein R<sub>6</sub> is a hydroxyl group.

3. (Original) The compound according to claim 1, wherein R<sub>6</sub> and R<sub>7</sub> are hydroxyl groups.

4. (Original) The compound according to claim 1, wherein R<sub>6</sub> and R<sub>8</sub> are hydroxyl groups.

5. (Original) The compound according to claim 1, wherein R<sub>5</sub> and R<sub>6</sub> are hydroxyl groups.

6. (Previously Presented) The compound according to claim 1, wherein the X-Y bond is a single bond and X is CW<sub>1</sub>W<sub>2</sub> or the X-Y bond is a double bond and X is CW, wherein

at least one of W<sub>1</sub> and W<sub>2</sub> is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

7. (Previously Presented) The compound according to claim 1, wherein Y is CO.

8. (Previously Presented) The compound according to claim 6, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

9. (Previously Presented) The compound according to claim 1, wherein R<sub>2</sub> or R<sub>3</sub> is any one of a heterocycle, a substituted heterocycle, an aromatic ring or a substituted aromatic ring.

10. (Previously Presented) The compound according to claim 1, wherein the heterocycle is an aromatic heterocycle.

11. (Previously Presented) The compound according to claim 1, wherein R<sub>2</sub> or R<sub>3</sub> is SW<sub>8</sub> or S(O)W<sub>9</sub>, wherein

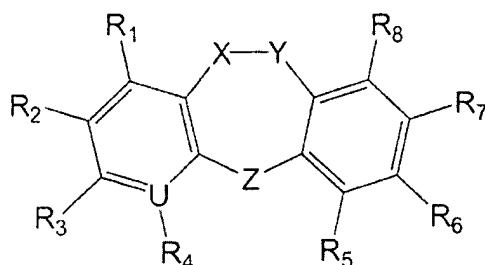
W<sub>8</sub> is a lower alkyl group or a substituted lower alkyl group, and

W<sub>9</sub> is a lower alkyl group or a substituted alkyl group.

12. (Previously Presented) The compound according to claim 11, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

13-16. (Canceled)

17. (Previously Presented) A method of preparing a compound represented by formula (1),



Formula 1

wherein

when the X-Y bond is a single bond, X and Y are independently selected from the group consisting of:

CW<sub>1</sub>W<sub>2</sub> wherein W<sub>1</sub> and W<sub>2</sub> are independently selected from the group consisting of one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group,

C=O, and

C=NOW<sub>3</sub> wherein W<sub>3</sub> is a hydrogen atom or a lower alkyl group;

when the X-Y bond is a double bond, X and Y are each independently CW<sub>4</sub> wherein W<sub>4</sub> is any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group or an acyloxy group;

Z is O;

U is C;

R<sub>1</sub> to R<sub>4</sub>, are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a

substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group,  $V_1W_5$ , a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle wherein

$V_1$  is any one of O, S, S=O or SO<sub>2</sub>,

$W_5$  is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group or a trihalomethyl group, and

$R_5$  to  $R_8$  are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group,  $V_2W_7$ , a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle; wherein

$V_2$  is one of O, S, S=O or SO<sub>2</sub>,

$W_7$  is one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group or a trihalomethyl group,

wherein:

$W_0$  is any one selected from the group consisting of a lower alkyl group and a substituted lower alkyl group;

when X is  $\text{CHW}_0$ ,  $\text{CW}_0\text{W}_0$  or  $\text{CW}_0$  at least one of  $\text{R}_5$  to  $\text{R}_8$  is a hydroxyl group, provided that at least one of  $\text{R}_5$ ,  $\text{R}_7$  or  $\text{R}_8$  is a hydroxy group when the X-Y bond is  $\text{CH}(\text{C}_2\text{H}_5)\text{CO}$  and  $\text{R}_6$  is a hydroxyl group and

when X is other than  $\text{CHW}_0$ ,  $\text{CW}_0\text{W}_0$  or  $\text{CW}_0$  at least one of  $\text{R}_5$  to  $\text{R}_8$  is a hydroxyl group and, at the same time, at least one of the other  $\text{R}_5$  to  $\text{R}_8$  is a group of OR wherein

R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group; and

when X-Y is  $\text{CH}_2\text{CH}_2$ ,  $\text{CHBrCH}_2$ ,  $\text{CH}_2\text{CO}$ ,  $\text{CHBrCO}$ ,  $\text{CH}=\text{CH}$ ,  $\text{CH}=\text{COCOCH}_3$  or  $\text{CH}=\text{COCH}_3$ ,

at least one of  $\text{R}_1$  to  $\text{R}_4$  is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle provided that when both  $\text{R}_6$  and  $\text{R}_7$  are hydroxyl groups, any one of  $\text{R}_1$  to  $\text{R}_4$  is not a phenyl group; or

at least one of  $\text{R}_1$  to  $\text{R}_4$  is  $\text{SW}_8$  or  $\text{S}(\text{O})\text{W}_9$  wherein  $\text{W}_8$  and  $\text{W}_9$  independently are a lower alkyl group or a substituted lower alkyl group provided that  $\text{R}_7$  is not a hydrogen atom when Z is O; or

$\text{R}_2$  is either a lower alkyl group or a substituted lower alkyl group and, at the same time,  $\text{R}_8$  is a hydroxyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O; or

at least one of  $\text{R}_1$  to  $\text{R}_4$  is a lower alkylcarbonyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more, a cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time,  $\text{R}_8$  is a hydroxyl group; or

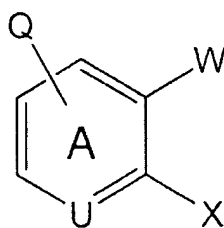
at least one of  $\text{R}_1$  to  $\text{R}_4$  is a cyano group; or



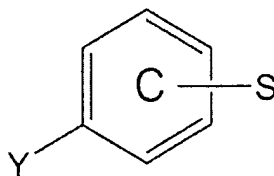
at least one of  $R_1$  to  $R_4$  is  $-C(=NOR)CH_3$  wherein R is a hydrogen atom or a lower alkyl group, an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof,

which comprises, in any order, the reaction steps of

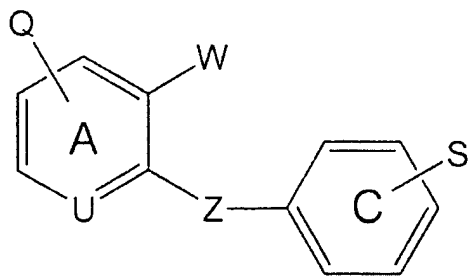
(1) bonding a ring A:



to a ring C:

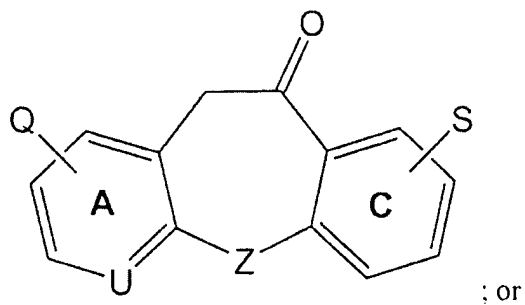


by the Ullman reaction to obtain a compound of the formula 1A:

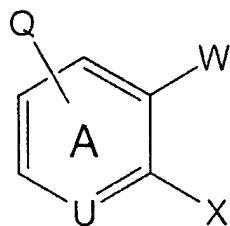


1A

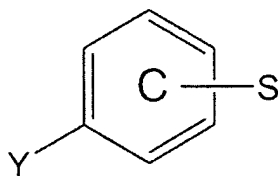
and then subjecting the formula 1A compound to a Friedel-Crafts reaction or a photoreaction to obtain a compound of formula:



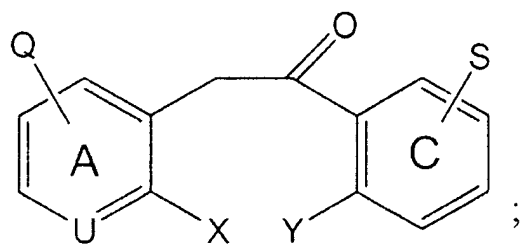
(2) bonding a ring A:



to a ring C:

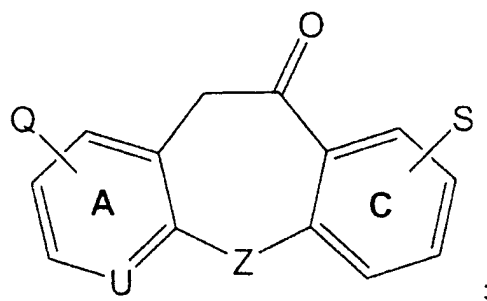


by the Friedel-Crafts reaction or photoreaction to obtain a compound of the formula 1B:



1B

and then subjecting the formula 1B compound to an Ullman reaction to obtain a compound of formula:



wherein:

each Q is independently selected from hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group,  $V_1W_5$ , a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle;

each S is independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group,  $V_2W_7$ , a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle;

W is  $-CH_2CO_2H$ ;

or W is a substituent that can be converted to  $-\text{CH}_2\text{CO}_2\text{H}$  by a conversion reaction, a carbon atom increasing reaction, or by a reaction of deprotection;

U is C;

one of X and Y is a leaving group and the other is a nucleophilic group; and

Z is O.

18. (Previously Presented) The method according to claim 17 further comprising at least one of a carbon atom increasing reaction, a conversion reaction of a substituent, an introduction reaction of a substituent, a removal of the protection of a substituent, forming a salt, and performing optical resolution.

19. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 1 and a pharmaceutically acceptable carrier or diluent.

20 –33. (Canceled)

34. (Previously Presented) The compound according to claim 2, wherein the X-Y bond is a single bond and X is  $\text{CW}_1\text{W}_2$  or the X-Y bond is a double bond and X is CW, wherein at least one of  $\text{W}_1$  and  $\text{W}_2$  is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

35. (Previously Presented) The compound according to claim 3, wherein the X-Y bond is a single bond and X is  $\text{CW}_1\text{W}_2$  or the X-Y bond is a double bond and X is CW, wherein

at least one of  $W_1$  and  $W_2$  is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

$W$  is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

36. (Previously Presented) The compound according to claim 4, wherein the X-Y bond is a single bond and X is  $CW_1W_2$  or the X-Y bond is a double bond and X is  $CW$ , wherein

at least one of  $W_1$  and  $W_2$  is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

$W$  is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

37. (Previously Presented) The compound according to claim 5, wherein the X-Y bond is a single bond and X is  $CW_1W_2$  or the X-Y bond is a double bond and X is  $CW$ , wherein

at least one of  $W_1$  and  $W_2$  is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

$W$  is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

38. (Previously Presented) The compound according to claim 2, wherein Y is CO.

39. (Previously Presented) The compound according to claim 3, wherein Y is CO.

40. (Previously Presented) The compound according to claim 4, wherein Y is CO.

41. (Previously Presented) The compound according to claim 5, wherein Y is CO.

42. (Previously Presented) The compound according to claim 6, wherein Y is CO.

43. (Previously Presented) The compound according to claim 1, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

44. (Previously Presented) The compound according to claim 2, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

45. (Previously Presented) The compound according to claim 3, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

46. (Previously Presented) The compound according to claim 4, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

47. (Previously Presented) The compound according to claim 5, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

48. (Previously Presented) The compound according to claim 6, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

49-52. (Cancelled)

53. (Previously Presented) The compound according to claim 2, wherein  $R_2$  or  $R_3$  is  $SW_8$  or  $S(O)W_9$ , wherein

$W_8$  is a lower alkyl group or a substituted lower alkyl group, and

$W_9$  is a lower alkyl group or a substituted alkyl group.

54. (Previously Presented) The compound according to claim 3, wherein  $R_2$  or  $R_3$  is  $SW_8$  or  $S(O)W_9$ , wherein

$W_8$  is a lower alkyl group or a substituted lower alkyl group, and

$W_9$  is a lower alkyl group or a substituted alkyl group.

55. (Currently Amended) The compound according to claim 4, wherein  $R_2$  or  $R_3$  is  $SW_8$  or  $S(O)W_9$ , wherein

$W_8$  is a lower alkyl group or a substituted lower alkyl group, and

$W_9$  is a lower alkyl group or a substituted alkyl ~~group~~ group.

56. (Previously Presented) The compound according to claim 5, wherein  $R_2$  or  $R_3$  is  $SW_8$  or  $S(O)W_9$ , wherein

$W_8$  is a lower alkyl group or a substituted lower alkyl group, and

$W_9$  is a lower alkyl group or a substituted alkyl group.

57. (Previously Presented) The compound according to claim 56, wherein the lower alkyl group is one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group, or a *tert*-butyl group.

58. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 2 and a pharmaceutically acceptable carrier or diluent.

59. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 3 and a pharmaceutically acceptable carrier or diluent.

60. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 4 and a pharmaceutically acceptable carrier or diluent.

61. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 5 and a pharmaceutically acceptable carrier or diluent.

62. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 6 and a pharmaceutically acceptable carrier or diluent.

63. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 7 and a pharmaceutically acceptable carrier or diluent.

64. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 8 and a pharmaceutically acceptable carrier or diluent.

65. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 9 and a pharmaceutically acceptable carrier or diluent.

66. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 10 and a pharmaceutically acceptable carrier or diluent.

67. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 11 and a pharmaceutically acceptable carrier or diluent.



Applicant : Shuji Jinno et al.  
Serial No. : 10/727,644  
Filed : December 5, 2003  
Page : 18 of 19

Attorney's Docket No.: 13709-002003

68. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 12 and a pharmaceutically acceptable carrier or diluent.